SUBSTITUTED INDENYLACETIC ACIDS AND THEIR DERIVATIVES

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Applicant:

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- international: ***A61K31/19; C07C45/38; C07C45/42; C07C45/43; C07C45/46; C07C45/51; C07C47/55; C07C47/575; C07C49/67; C07C49/697; C07C49/747; C07C49/755; C07C57/58; C07C57/60; C07C57/62; C07C59/64; C07C59/72; C07C59/74; C07C59/88; C07C205/12; C07D295/185; C07D303/38; C07D309/12; C07D331/02; C07F3/00; C07F9/54; C09B23/04;*** **A61K31/185; C07C45/00; C07C47/52; C07C49/00; C07C57/00; C07C59/00; C07C205/00; C07D295/00; C07D303/00; C07D309/00; C07D331/00; C07F3/00; C07F9/00; C09B23/00;** (IPC1-7): A61K31/255; C07C143/68; C07C145/00

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Abstract not available for JP53012512B

Abstract of corresponding document: **GB1276600**

1276600 Substituted 3-indenyl acetic acid acid derivatives MERCK & CO Inc 5 Aug 1970 [8 Aug 1969 1 May 1970] 37829/70 Heading C2C Novel compounds of the general Formula I: wherein Ar is aryl or heteroaryl; R 1 is hydro- gen, alkyl or haloalkyl; R 2 hydrogen or alkyl; R 3 , R 4 , R 5 and R 6 each are hydrogen, alkyl, acyloxy, alkoxy, nitro, amino, acylamino, alkylamino dialkylamino, dialkylamino alkyl, sulphamoyl, alkylthio, mercapto, hydroxy, hy- droxyl alkyl, alkyl sulphonyl, halogen, cyano, carboxyl, alkoxycarbonyl, carbamoyl, haloalkyl cycloalkyl or cycloalkoxyl; R 7 is alkyl sulphinyl or alkyl sulphonyl; R 8 is hydrogen, halogen, hydroxy, alkoxy, alkyl, haloalkyl and M is hydroxy, alkoxy, substituted alkoxy, amino, alkylamino, dialkylamino, N-morpholino, hy- droxyalkylamino, polyhydroxyalkylamino, di- alkylaminoalkylamino, aminoalkylamino or the group OMe, wherein Me is a cation and the alkyl and alkoxy all being C 1-5 radicals, may be prepared by oxidizing a compound I in which R 7 is alkylthio to sulphoxide and optionally oxi- dizing the sulphoxide to the sulphone and/or optionally forming metal salts, esters or amides and separating the isomers. The compound I in which R 7 is alkylthio may be prepared by condensing an aldehyde II with an indene III wherein R<SP>*</SP> is an alkyl thio group, and E is an esterifying group; which may in turn be pre- pared by reacting a halo acetate halo-CH(R 1)- COOAlk with an indanone IV: to produce III directly or to produce a hydroxyl compound which may be dehydrated to form III. The compound IV may be prepared by cyclizing an acid Va or a reactive derivative thereof): which in turn may be prepared by hydrogena- tion of the [alpha],#-unsaturated acid VI or by de- carboxylation of a malonic acid ester VII: The [alpha],#-unseturated acids VI may be formed by condensation of a substituted benzaldehyde with a substituted haloacetic acid ester, halo- CH(R 2)COOE or acid anhydride. The malonic ester derivative VII may be pre- pared by condensing a substituted benzyl halide with a malonic ester R 2 CH(COOE) 2 . The substituted benzaldehyde may be prepared by bromination followed by hydrolysis of the appropriate substituted toluene or Friedel Crafts condensation of Cl 2 CHOCH 3 with the appro- priate substituted benzene followed by hydro- lysis. Pharmaceutical

compositions of the com- pounds I show anti-inflammatory and analgesic activity when administered topically, orally, rectally or parenterally with the usual excipients.